ABSTRACT

THERAPEUTIC PROLINE DERIVATIVES

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The compounds of formula (I) or a pharmaceutically acceptable salt, solvate or pro-drug thereof, are proline derivatives useful in the treatment of epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, fibromyalgia, arthritis, neuropathalogical disorders, sleep disorders, visceral pain disorders and gastrointestinal disorders. Processes for the preparation of the final products and intermediates useful in the process are included. Pharmaceutical compositions containing one or more of the compounds are also included.

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wherein

either X is O, S, NH or CH₂ and Y is CH₂ or a direct bond, or Y is O, S or NH and X is CH₂; and

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R is a 3-12 membered cycloalkyl, 4-12 membered heterocycloalkyl, heteroaryl or aryl, where any ring may be optionally substituted with one or more substituents independently selected from

halogen, hydroxy, cyano, nitro, amino, hydroxycarbonyl,

- C₁-C₆-alkyl, C₁-C₆-alkynyl,
- C_4 - C_6 -alkoxy, hydroxy C_4 - C_6 -alkyl, C_4 - C_6 -alkoxy C_4 - C_6 -alkoxy, perfluoro C_4 - C_6 -alkoxy,
- C₁-C₆-alkylamino, di- C₁-C₆-alkylamino, aminoC₁-C₆-alkyl, C₁-C₆-alkylaminoC₁-C₆-alkyl,
- 5 di-C₁-C₆ alkylaminoC₁-C₆ alkyl,
 - C₁-C₆acyl, C₁-C₆acyloxy, C₁-C₆acyloxyC₁-C₆ alkyl, C₁-C₆-acylamino,
 - C₁-C₆-alkylthio, C₁-C₆ alkylthiocarbonyl, C₁-C₆-alkylthioxo, C₁-C₆ alkoxycarbonyl,
 - C₁-C₆-alkylsulfonyl, C₁-C₆-alkylsulfonylamino,
 - aminosulfonyl, C₁-C₆-alkylaminosulfonyl, di-C₁-C₆ alkylaminosulfonyl,
- 10 3-8 membered cycloalkyl, 4-8 membered heterocycloalkyl, phenyl and monocyclic heteroaryl;
 - or a pharmaceutically acceptable salt, solvate or pro-drug thereof.